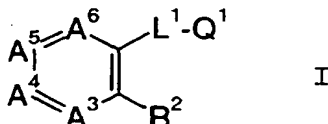


What is claimed is:

1. A compound of formula I



(or a pharmaceutically acceptable salt thereof) wherein:

A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup> and A<sup>6</sup>, together with the two carbons to which they are attached, complete a substituted benzene in which A<sup>3</sup> is CR<sup>3</sup>, A<sup>4</sup> is CR<sup>4</sup>, A<sup>5</sup> is CR<sup>5</sup>, and A<sup>6</sup> is CR<sup>6</sup>;

wherein

R<sup>3</sup> is hydrogen, methyl, methoxy, fluoro, chloro or carboxy;

one of R<sup>4</sup> and R<sup>5</sup> is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy, R<sup>f</sup>O-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>O-, HO(CH<sub>2</sub>)<sub>a</sub>O- (in which a is 2, 3 or 4), R<sup>f</sup>O<sub>2</sub>C-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>-, R<sup>g</sup>NH-, R<sup>h</sup>SO<sub>2</sub>-, hydroxymethyl, formyl, cyano, acetyl, 1-hydroxyethyl, 1-(hydroxyimino)ethyl, 1-(methoxyimino)-ethyl, methylthio or R<sup>f</sup>O<sub>2</sub>C(CH<sub>2</sub>)<sub>2</sub>-;

the other of R<sup>4</sup> and R<sup>5</sup> is hydrogen; and

R<sup>6</sup> is hydrogen, methyl, fluoro, chloro or methoxy;

in which R<sup>f</sup> is hydrogen, (1-4C)alkyl or benzyl; R<sup>g</sup> is hydrogen or R<sup>h</sup>SO<sub>2</sub>-; and R<sup>h</sup> is (1-4C)alkyl or dimethylamino;

or each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen; and R<sup>5</sup> is vinyl, 2-cyanovinyl, 2-(((1-2C)alkoxy)carbonyl)vinyl or R<sup>a</sup> in which R<sup>a</sup> is phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy) or heteroaryl (which heteroaryl is a 5-membered aromatic ring which includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon

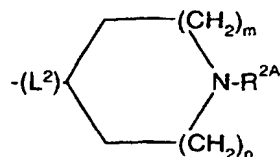
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and may bear one or more methyl substituents on carbon or nitrogen);

$L^1$  is -CO-NH- such that  $-L^1-Q^1$  is -CO-NH- $Q^1$ ;

$Q^1$  is 2-pyridinyl (which bears a methyl, methoxy, methylthio, fluoro or chloro substituent at the 5-position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6-position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position) or 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6-position);

$R^2$  is  $-L^2-Q^2$  in which  $-L^2-$  is -NH-CO-, -NH-CO-X-, -NH-CO-O-X-, -NH-CO-NH-X-, -NH-CH<sub>2</sub>-, -NH-C(CH<sub>3</sub>)H-, -N(CH<sub>3</sub>)-CH<sub>2</sub>- or -O-CH<sub>2</sub>-; and  $Q^2$  is  $Q^{2A}$ ,  $Q^{2B}$ ,  $Q^{2C}$ ,  $Q^{2D}$ ,  $Q^{2E}$  or  $Q^{2F}$  wherein X is a single bond or methylene and the values of  $L^2$  and  $Q^2$  are together selected from -NH-CO-X- $Q^{2A}$ , -NH-CO-O-X- $Q^{2A}$ , -NH-CO-NH-X- $Q^{2A}$ , -NH-CH<sub>2</sub>- $Q^{2A}$ , -NH-C(CH<sub>3</sub>)H- $Q^{2A}$ , -N(CH<sub>3</sub>)-CH<sub>2</sub>- $Q^{2A}$ , -O-CH<sub>2</sub>- $Q^{2A}$ , -NH-CO-X- $Q^{2B}$ , -NH-CO- $Q^{2C}$ , -NH-CO- $Q^{2D}$ , -NH-CO- $Q^{2E}$  and -NH-CO- $Q^{2F}$  in which:  $Q^{2A}$  (showing the  $L^2$  to which it is attached) is



in which

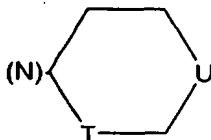
each of m and n independently is 0 or 1, or m is 2 and n is 1, and

$R^{2A}$  is hydrogen, t-butyl, methylsulfonyl, -CHRYR<sup>2</sup>, -CHR<sup>W</sup>R<sup>X</sup>, or 4-pyridinyl (which is unsubstituted or bears a substituent R<sup>V</sup> at the 2- or 3-position) wherein

R<sup>V</sup> is methyl, hydroxymethyl, ((1-2C)alkoxy)carbonyl; cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

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each of  $R^W$  and  $R^X$  independently is hydrogen or (1-3C)normal alkyl; or  $-CHR^WR^X$  is 2-indanyl or (showing the nitrogen to which it is attached) is



5

in which T is a single bond or methylene and U is methylene, ethylene, oxy,  $-S(O)_q-$  (wherein q is 0, 1 or 2) or imino (which may bear a methyl substituent), or T is

10 ethan-1,1-diyl and U is a single bond or methylene;

$R^Y$  is hydrogen or methyl; and

$R^Z$  is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

or  $R^{2A}$  is  $-L^b-CH_2-R^b$  in which  $-L^b-$  is a direct bond,  $-CH_2-$ ,  $-C(CH_3)H-$  or  $-CH_2-CH_2-$ ; and  $R^b$  is carboxy, {(1-2C)alkoxy}carbonyl, cyano, carbamoyl or trifluoromethyl;

25 or  $R^{2A}$  is  $-CO-R^c$  in which  $R^c$  is hydrogen, (1-3C)alkyl, {(1-2C)alkoxy}carbonyl- $(CH_2)_c-$  (in which c is 1 or 2), phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), heteroaryl (which heteroaryl is a 5-membered aromatic ring which includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is

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a 6-membered aromatic ring which includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen) or  $-NR^dR^e$  in which each of  $R^d$  and  $R^e$  is independently hydrogen, methyl or ethyl, or  $-NR^dR^e$  is pyrrolidino, piperidino, morpholino or thiomorpholino;

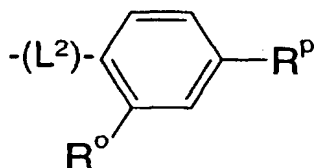
$Q^{2B}$  is 1-piperazinyl which bears at the 4-position the group  $R^{2A}$  (defined as above);

$Q^{2C}$  is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group  $R^{2A}$  (defined as above);

$Q^{2D}$  is cyclohexyl which bears at the 4-position the group  $-NR^sR^t$  in which each of  $R^s$  and  $R^t$  independently is hydrogen or methyl or  $R^s$  and  $R^t$  together are trimethylene or tetramethylene;

$Q^{2E}$  is 1-piperidinyl which bears at the 4-position the group  $-NR^sR^t$  (defined as above); and

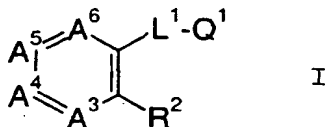
$Q^{2F}$  (showing the  $L^2$  to which it is attached) is



in which  $R^O$  is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and  $R^P$  is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or  $-J-R^q$  in which J is a single bond, methylene, carbonyl, oxy,  $-S(O)_q-$  (wherein q is 0, 1 or 2), or  $-NR^r-$  (wherein  $R^r$  is hydrogen or methyl); and  $R^q$  is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl; or  $-NR^qR^r$  is pyrrolidino.

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2. The compound of formula I as claimed in Claim 1



5 (or a pharmaceutically acceptable salt thereof) wherein:

A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup> and A<sup>6</sup>, together with the two carbons to which they are attached, complete a substituted benzene in which A<sup>3</sup> is CR<sup>3</sup>, A<sup>4</sup> is CR<sup>4</sup>, A<sup>5</sup> is CR<sup>5</sup>, and A<sup>6</sup> is CR<sup>6</sup>; wherein

10 R<sup>3</sup> is hydrogen, methyl, fluoro, chloro or carboxy;

one of R<sup>4</sup> and R<sup>5</sup> is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy, R<sup>f</sup>O-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>O-, HO(CH<sub>2</sub>)<sub>a</sub>O- (in which a is 2, 3 or 4), R<sup>f</sup>O<sub>2</sub>C-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>-, R<sup>g</sup>NH- or R<sup>h</sup>SO<sub>2</sub>-;

15 the other of R<sup>4</sup> and R<sup>5</sup> is hydrogen; and

R<sup>6</sup> is hydrogen, methyl, fluoro, chloro or methoxy; in which R<sup>f</sup> is hydrogen, (1-4C)alkyl or benzyl; R<sup>g</sup> is hydrogen or R<sup>h</sup>SO<sub>2</sub>-; and R<sup>h</sup> is (1-4C)alkyl or dimethylamino; L<sup>1</sup> is -CO-NH- such that -L<sup>1</sup>-Q<sup>1</sup> is -CO-NH-Q<sup>1</sup>;

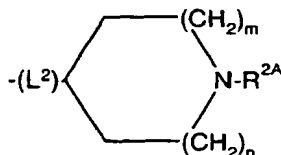
20 Q<sup>1</sup> is 2-pyridinyl (which bears a methyl, methoxy, methylthio, fluoro or chloro substituent at the 5-position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6-position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position) or 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6-position);

25 R<sup>2</sup> is -L<sup>2</sup>-Q<sup>2</sup> in which -L<sup>2</sup>- is -NH-CO-, -NH-CO-X-, -NH-CO-O-X-, -NH-CO-NH-X-, -NH-CH<sub>2</sub>- or -O-CH<sub>2</sub>-; and Q<sup>2</sup> is Q<sup>2A</sup>, Q<sup>2B</sup>, Q<sup>2C</sup>, Q<sup>2D</sup>, Q<sup>2E</sup> or Q<sup>2F</sup> wherein X is a single bond or  
30 methylene and the values of L<sup>2</sup> and Q<sup>2</sup> are together selected from -NH-CO-X-Q<sup>2A</sup>, -NH-CO-O-X-Q<sup>2A</sup>, -NH-CO-NH-X-Q<sup>2A</sup>,

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-NH-CH<sub>2</sub>-Q<sup>2A</sup>, -O-CH<sub>2</sub>-Q<sup>2A</sup>, -NH-CO-X-Q<sup>2B</sup>, -NH-CO-Q<sup>2C</sup>,  
 -NH-CO-Q<sup>2D</sup>, -NH-CO-Q<sup>2E</sup> and -NH-CO-Q<sup>2F</sup> in which:

Q<sup>2A</sup> (showing the L<sup>2</sup> to which it is attached) is



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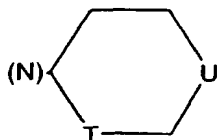
in which

each of m and n independently is 0 or 1, and

R<sup>2A</sup> is hydrogen, t-butyl, methylsulfonyl, -CHRYR<sup>Z</sup>,  
 10 -CHR<sup>W</sup>R<sup>X</sup>, or 4-pyridinyl (which is unsubstituted or bears a  
 substituent R<sup>V</sup> at the 2- or 3-position) wherein

R<sup>V</sup> is methyl, hydroxymethyl, {(1-2C)alkoxy}carbonyl;  
 cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of R<sup>W</sup> and R<sup>X</sup> independently is hydrogen or  
 15 (1-3C)normal alkyl; or -CHR<sup>W</sup>R<sup>X</sup> is 2-indanyl or (showing the  
 nitrogen to which it is attached) is



20 in which T is a single bond or methylene and U is methylene,  
 ethylene, oxy, -S(O)<sub>q</sub>- (wherein q is 0, 1 or 2) or imino  
 (which may bear a methyl substituent), or T is  
 ethan-1,1-diyl and U is a single bond or methylene;

RY is hydrogen or methyl; and

25 R<sup>Z</sup> is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl  
 (which is unsubstituted or bears one or more substituents  
 independently selected from halo, methyl, methoxy and  
 hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a

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5-membered aromatic ring which includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

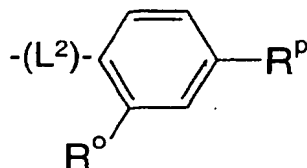
Q<sup>2B</sup> is 1-piperazinyl which bears at the 4-position the group R<sup>2A</sup> (defined as above);

10 Q<sup>2C</sup> is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R<sup>2A</sup> (defined as above);

Q<sup>2D</sup> is cyclohexyl which bears at the 4-position the group -NR<sup>S</sup>R<sup>t</sup> in which each of R<sup>S</sup> and R<sup>t</sup> independently is hydrogen or methyl or R<sup>S</sup> and R<sup>t</sup> together are trimethylene or tetramethylene;

15 Q<sup>2E</sup> is 1-piperidinyl which bears at the 4-position the group -NR<sup>S</sup>R<sup>t</sup> (defined as above); and

Q<sup>2F</sup> (showing the L<sup>2</sup> to which it is attached) is



20 in which R<sup>o</sup> is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and R<sup>p</sup> is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or -J-R<sup>q</sup> in which J is a single bond, 25 methylene, carbonyl, oxy, -S(O)<sub>q</sub>- (wherein q is 0, 1 or 2), or -NR<sup>f</sup>- (wherein R<sup>f</sup> is hydrogen or methyl); and R<sup>q</sup> is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

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3. A compound of formula I (or a pharmaceutically acceptable salt thereof) as claimed in Claim 2 wherein:

A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup> and A<sup>6</sup>, together with the two carbons to which they are attached, complete a substituted benzene in which A<sup>3</sup> is CR<sup>3</sup>, A<sup>4</sup> is CR<sup>4</sup>, A<sup>5</sup> is CR<sup>5</sup>, and A<sup>6</sup> is CR<sup>6</sup>; wherein

R<sup>3</sup> is hydrogen;

one of R<sup>4</sup> and R<sup>5</sup> is hydrogen, methyl, fluoro, chloro, trifluoromethyl, trifluoromethoxy, R<sup>f</sup>O<sub>2</sub>C- or R<sup>g</sup>NH-;

the other of R<sup>4</sup> and R<sup>5</sup> is hydrogen; and

R<sup>6</sup> is hydrogen;

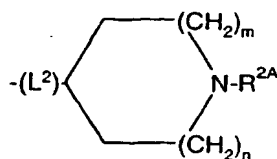
in which R<sup>f</sup> is hydrogen, (1-4C)alkyl or benzyl; R<sup>g</sup> is hydrogen or R<sup>h</sup>SO<sub>2</sub>-; and R<sup>h</sup> is (1-4C)alkyl or dimethylamino;

L<sup>1</sup> is -CO-NH- such that -L<sup>1</sup>-Q<sup>1</sup> is -CO-NH-Q<sup>1</sup>;

Q<sup>1</sup> is 2-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 5-position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6-position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position) or 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6-position);

R<sup>2</sup> is -L<sup>2</sup>-Q<sup>2</sup> in which -L<sup>2</sup>- is -NH-CO-, -NH-CO-X-, -NH-CO-O-X-, -NH-CO-NH-X-, -NH-CH<sub>2</sub>- or -O-CH<sub>2</sub>-; and Q<sup>2</sup> is Q<sup>2A</sup>, Q<sup>2B</sup>, Q<sup>2C</sup>, Q<sup>2D</sup>, Q<sup>2E</sup> or Q<sup>2F</sup> wherein X is a single bond or methylene and the values of L<sup>2</sup> and Q<sup>2</sup> are together selected from -NH-CO-X-Q<sup>2A</sup>, -NH-CO-O-X-Q<sup>2A</sup>, -NH-CO-NH-X-Q<sup>2A</sup>, -NH-CH<sub>2</sub>-Q<sup>2A</sup>, -O-CH<sub>2</sub>-Q<sup>2A</sup>, -NH-CO-X-Q<sup>2B</sup>, -NH-CO-Q<sup>2C</sup>, -NH-CO-Q<sup>2D</sup>, -NH-CO-Q<sup>2E</sup> and -NH-CO-Q<sup>2F</sup> in which:

Q<sup>2A</sup> (showing the L<sup>2</sup> to which it is attached) is



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in which

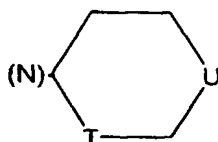
each of m and n independently is 0 or 1, and

$R^{2A}$  is hydrogen,  $-CHRYR^Z$ ,  $-CHR^WR^X$ , or 4-pyridinyl

5 (which is unsubstituted or bears a substituent  $R^V$  at the 2- or 3-position) wherein

$R^V$  is methyl, hydroxymethyl, {(1-2C)alkoxy}carbonyl; cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of  $R^W$  and  $R^X$  independently is hydrogen or  
 10 (1-3C)normal alkyl; or  $-CHR^WR^X$  is 2-indanyl or (showing the nitrogen to which it is attached) is



15 in which T is a single bond or methylene and U is methylene, oxy, thioxy or imino (which may bear a methyl substituent), or T is ethan-1,1-diyl and U is a single bond or methylene;

$RY$  is hydrogen or methyl; and

$R^Z$  is isopropyl, t-butyl, (3-6C)cyclopropyl, phenyl

20 (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is  
 25 a 6-membered aromatic ring which includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

$Q^{2B}$  is 1-piperazinyl which bears at the 4-position the  
 30 group  $R^{2A}$  (defined as above);

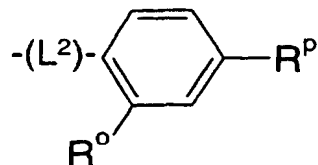
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Q<sup>2C</sup> is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R<sup>2A</sup> (defined as above);

Q<sup>2D</sup> is cyclohexyl which bears at the 4-position the group -NR<sup>S</sup>R<sup>t</sup> in which each of R<sup>S</sup> and R<sup>t</sup> independently is hydrogen or methyl or R<sup>S</sup> and R<sup>t</sup> together are trimethylene or tetramethylene;

Q<sup>2E</sup> is 1-piperidinyl which bears at the 4-position the group -NR<sup>S</sup>R<sup>t</sup> (defined as above); and

Q<sup>2F</sup> (showing the L<sup>2</sup> to which it is attached) is



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in which R<sup>o</sup> is hydrogen and R<sup>p</sup> is acetylamino,

1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl,

15 dimethylaminosulfonyl or -J-R<sup>q</sup> in which J is a single bond, methylene, carbonyl, oxy, -S(O)<sub>q</sub>- (wherein q is 0, 1 or 2), or -NR<sup>r</sup>- (wherein R<sup>r</sup> is hydrogen or methyl); and R<sup>q</sup> is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

20 4. The compound of Claim 1, 2 or 3 wherein halo is fluoro, chloro, bromo or iodo; (1-2C)alkyl is methyl or ethyl; (1-3C)normal alkyl is methyl, ethyl or propyl; (1-4C)alkyl is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, or t-butyl; (1-6C)alkyl is methyl, ethyl, propyl, butyl, pentyl or hexyl; (3-6C)cycloalkyl is cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl.

5. The compound of any of Claims 1-4 wherein Q<sup>1</sup> is 5-chloropyridin-2-yl, 5-fluoropyridin-2-yl, or 6-chloropyridazin-3-yl.

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6. The compound of any of Claims 1-5 wherein R<sup>2</sup> is  
(1-isopropylpiperidin-4-ylcarbonyl)amino,  
(1-cyclohexylpiperidin-4-ylcarbonyl)amino,  
(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-  
5 pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-  
diny]piperidin-1-ylcarbonyl]amino, [1-(4-pyridiny]piper-  
idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-  
4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-  
piperidin-4-ylmethyl]amino.

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7. The compound as claimed in any of Claims 1-6  
wherein each of R<sup>3</sup>-R<sup>6</sup> is hydrogen.

8. The compound as claimed in any of Claims 1-6  
15 wherein each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is chloro  
or fluoro.

9. The compound as claimed in any of Claims 1, 4, 5  
and 6 wherein each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is R<sup>a</sup>  
20 wherein R<sup>a</sup> is phenyl, furanyl, thienyl, 2-isothiazolyl or  
pyridyl.

10. The pharmaceutically acceptable salt of a compound  
of formula I as claimed in any of Claims 1-9 which is an  
25 acid-addition salt made from a basic compound of formula I  
and an acid which provides a pharmaceutically acceptable  
anion or a salt which is made from an acidic compound of  
formula I and a base which provides a pharmaceutically  
acceptable cation.

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11. A pharmaceutical formulation comprising in  
association with a pharmaceutically acceptable carrier,  
diluent or excipient, a novel compound of formula I (or a

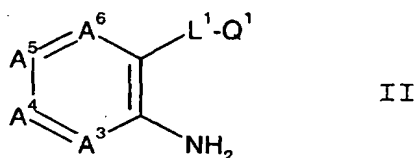
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pharmaceutically acceptable salt thereof) as provided in any of Claims 1-10.

12. A process for preparing a compound of formula I  
5 (or a pharmaceutically acceptable salt thereof) as provided in Claim 1 or 2 which is selected from

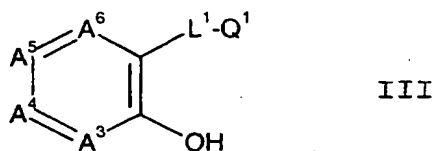
(A) for a compound of formula I in which  $-L^2-Q^2$ , is  $-NH-CO-Q^2$ ,  $-NH-CO-X-Q^2$ ,  $-NH-CO-O-X-Q^2$  or  $-NH-CO-NH-X-Q^2$ , acylating an amine of formula II,

10



using a corresponding acid of formula  $HO-CO-Q^2$ ,  $HO-CO-X-Q^2$ ,  $HO-CO-O-X-Q^2$ , or  $HO-CO-NH-X-Q^2$ , or an activated derivative  
15 thereof;

(B) for a compound of formula I in which  $-L^2-Q^2$  is  $-O-CH_2-Q^{2A}$ , acylating a phenol of formula III

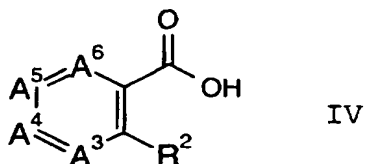


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using a reagent of formula  $Y-CH_2-Q^{2A}$  in which Y is a conventional leaving group;

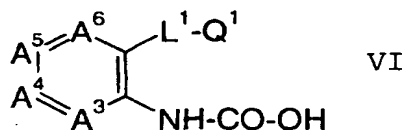
(C) acylating an amine of formula  $H_2N-Q^1$ , or a deprotonated derivative thereof, using an acid of formula  
25 IV, or an activated derivative thereof;

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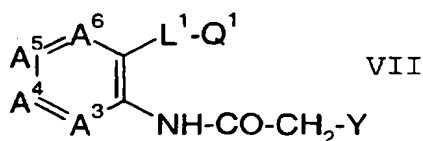
(D) for a compound of formula I in which  $R^2$  is  $-\text{NH}-\text{CH}_2-\text{Q}^{2\text{A}}$ , alkylating an amine of formula II directly, using a compound of formula  $\text{Y}-\text{CH}_2-\text{Q}^{2\text{A}}$ , or indirectly by reductive alkylation using an aldehyde of formula  $\text{Q}^{2\text{A}}-\text{CHO}$ ;

(E) for a compound of formula I in which  $R^2$  is  $-\text{NH}-\text{CO}-\text{O}-\text{X}-\text{Q}^{2\text{A}}$ , or  $-\text{NH}-\text{CO}-\text{NH}-\text{X}-\text{Q}^{2\text{A}}$ , acylating an alcohol of formula  $\text{HO}-\text{X}-\text{Q}^{2\text{A}}$  or an amine of formula  $\text{NH}_2-\text{X}-\text{Q}^{2\text{A}}$ , using an activated derivative of an acid of formula VI;



(F) for a compound of formula I in which  $R^2$  is  $-\text{NH}-\text{CO}-\text{X}-\text{Q}^{2\text{B}}$  in which X is a single bond, acylating at the 1-position a piperazine of formula  $\text{H}-\text{Q}^{2\text{B}}$ , using an activated derivative of an acid of formula VI;

(G) for a compound of formula I in which  $R^2$  is  $-\text{NH}-\text{CO}-\text{X}-\text{Q}^{2\text{B}}$  in which X is methylene, alkylating at the 1-position a piperazine of formula  $\text{H}-\text{Q}^{2\text{B}}$ , using an alkylating agent of formula VII



in which Y is a leaving group;

(H) for a compound of formula I in which  $R^{2\text{A}}$  is methylsulfonyl, substituting the amino nitrogen of a

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corresponding compound of formula I in which R<sup>2A</sup> is hydrogen using an activated derivative of methanesulfonic acid;

(I) for a compound of formula I in which R<sup>2A</sup> is -CHRYR<sup>Z</sup> or -CHRW<sup>RX</sup>, alkylating the amino nitrogen of a

5 corresponding compound of formula I in which R<sup>2A</sup> is hydrogen using an alkylating agent of formula Y-CHRYR<sup>Z</sup> or Y-CHRW<sup>RX</sup> or reductively alkylating the amine using a compound of formula RY-CO-R<sup>Z</sup> or RW-CO-R<sup>X</sup>;

(J) for a compound of formula I in which R<sup>2A</sup> is  
10 4-pyridinyl (which is unsubstituted or bears a substituent R<sup>V</sup> at the 2- or 3-position), substituting the amino nitrogen of a corresponding compound of formula I in which R<sup>2A</sup> is hydrogen using a corresponding pyridine reagent bearing a leaving group Y at the 4-position;

15 (K) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is alkoxycarbonyl, esterifying a corresponding compound of formula I in which R<sup>V</sup> is carboxy;

(L) for a compound of formula I in which R<sup>2A</sup> is  
20 4-pyridinyl in which R<sup>V</sup> is hydroxymethyl, reducing the ester of a corresponding compound of formula I in which R<sup>V</sup> is alkoxycarbonyl;

(M) for a compound of formula I in which R<sup>2A</sup> is  
25 4-pyridinyl in which R<sup>V</sup> is carbamoyl, amidating the ester of a corresponding compound of formula I in which R<sup>V</sup> is alkoxycarbonyl;

(N) for a compound of formula I in which R<sup>2A</sup> is  
4-pyridinyl in which R<sup>V</sup> is thiocarbamoyl, adding H<sub>2</sub>S to the nitrile of a corresponding compound of formula I in which R<sup>V</sup> is cyano;

30 (O) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is N-hydroxyamidino, adding H<sub>2</sub>NOH to the nitrile of a corresponding compound of formula I in which R<sup>V</sup> is cyano;

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(P) for a compound of formula I in which  $R^{2A}$  is 4-pyridinyl in which  $R^V$  is carboxy, decomposing the ester of a corresponding compound of formula I in which  $R^V$  is alkoxy carbonyl;

5 (Q) for a compound of formula I in which  $-NR^{SR^t}$  is other than amino, alkylating a corresponding compound of formula I in which  $-NR^{SR^t}$  is amino using a conventional method;

10 (R) for a compound of formula I which bears  $-NR^{SR^t}$ , reductively alkylating  $H-NR^{SR^t}$  using a corresponding compound but in which the carbon to bear the  $-NR^{SR^t}$  group bears an oxo group;

15 (S) for a compound of formula I in which  $R^P$  is 1-hydroxy-1-methylethyl, adding a methyl group to the carbonyl group of a corresponding compound of formula I in which  $R^P$  is acetyl using an organometallic reagent;

20 (T) for a compound of formula I in which  $R^P$  is 1-methoxy-1-methylethyl, treating a corresponding compound of formula I in which  $R^P$  is 1-hydroxy-1-methylethyl with methanol and an acid catalyst;

(U) for a compound of formula I in which  $R^4$  or  $R^5$  is amino, reducing the nitro group of a compound corresponding to a compound of formula I but in which  $R^4$  or  $R^5$  is nitro;

25 (V) for a compound of formula I in which  $R^4$  or  $R^5$  is  $R^gNH-$  and  $R^g$  is  $R^hSO_2-$ , substituting the amino group of a corresponding compound of formula I in which  $R^4$  or  $R^5$  is amino using an activated derivative of the sulfonic acid  $R^hSO_2-OH$ ;

30 whereafter, for any of the above procedures, when a functional group is protected using a protecting group, removing the protecting group;

whereafter, for any of the above procedures, when a pharmaceutically acceptable salt of a compound of formula I is required, it is obtained by reacting the basic form of a

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basic compound of formula I with an acid affording a physiologically acceptable counterion or the acidic form of an acidic compound of formula I with a base affording a physiologically acceptable counterion or by any other  
5 conventional procedure;

and wherein, unless otherwise specified, A<sup>3</sup>-A<sup>6</sup>, L<sup>1</sup>, Q<sup>1</sup> and R<sup>2</sup> have any of the values defined in Claim 1 or 2.

13. A method of inhibiting factor Xa comprising  
10 administering to a mammal in need of treatment, a compound of formula I as provided in any of Claims 1-10.

14. The use of a factor Xa inhibiting compound of formula I substantially as hereinbefore described with  
15 reference to any of the examples.

15. A novel compound of formula I substantially as hereinbefore described with reference to any of the  
20 examples.

16. A process for preparing a novel compound of formula I substantially as hereinbefore described with reference to any of the examples.